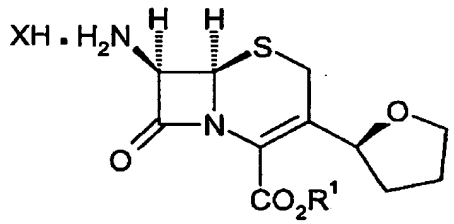
PATENT/Docket No. PC10856B
Appl. No. 10/781,158
Filing Date: February 17, 2004

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (Currently amended) A process for preparing a compound of formula **(I)**:

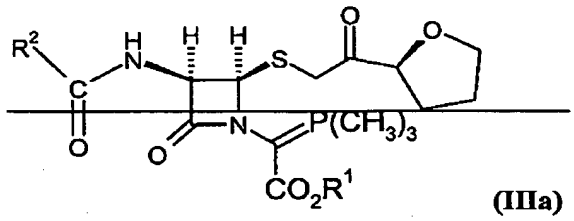


(I)

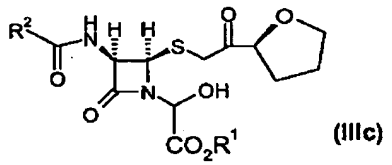
wherein R^1 is *para*-nitrobenzyl ~~or allyl;~~ and X is halo, ~~;~~

comprising the steps of:

a) ~~cyclizing a trimethylphosphinie~~reacting a compound of formula ~~(IIIa)~~**(IIIc):**



(IIIa)



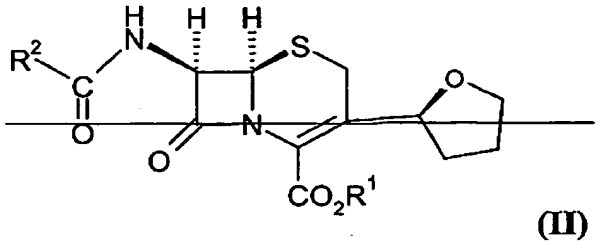
(IIIc)

wherein

R^1 is *para*-nitrobenzyl ~~or allyl;~~ and

R^2 is selected from the group consisting of $C_{1\text{-}6}$alkyl, $C_{6\text{-}10}$aryl, $C_{6\text{-}10}$aryl$C_{1\text{-}6}$alkyl and dithianyl, ~~;~~ with a halogenating agent, in a solvent and in the presence of a base, ~~;~~

to form a compound of formula ~~(II)~~**(IIIb):**



(II)

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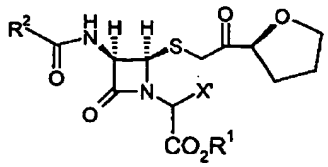


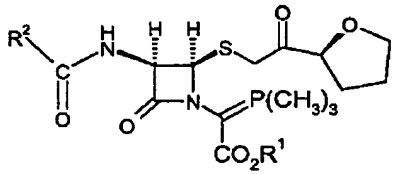
(IIIb)

wherein

R^1 is *para*-nitrobenzyl, ~~or allyl;~~

R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl, and X' is halo; ~~and~~

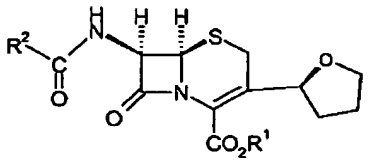
b) reacting said compound of formula ~~(II)~~(IIIb) with trimethylphosphine, in a solvent and in the presence of a base, to form a trimethylphosphinic compound of formula (IIIa):



(IIIa)

wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl;

c) cyclizing said compound of formula (IIIa) in a solvent to form a compound of formula (II):



(II)

wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl; and

d) treating said compound of formula (II) with a Lewis acid of structure PX_5 wherein X is ~~a~~ halo ~~group~~.

2. (Currently amended) A process according to claim 1, wherein said solvent in step (c) is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and acetonitrile.

3. (Currently amended) A process according to claim 1, wherein said acid in step (d) is phosphorus pentachloride or phosphorus pentabromide; and wherein X is chloro or bromo.

4. (Canceled)

5. (Currently amended) A process according to claim [[4]]1, wherein said solvent in step (b) is tetrahydrofuran, acetonitrile or methylene chloride.

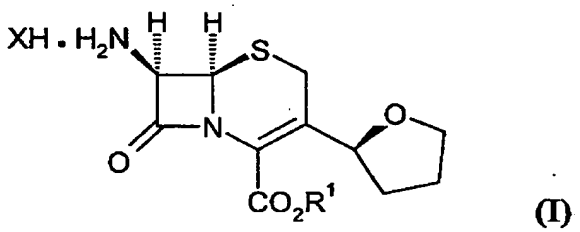
6. (Currently amended) A process according to claim [[4]]1, wherein said base in step (b) is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate.

7. (Canceled)

8. (Currently amended) A process according to claim [[7]]1, wherein said halogenating agent in step (a) is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said ~~halo~~ X' in step (a) is chloro or bromo.

9. (Currently amended) A process according to claim [[7]]1, wherein said base in step (a) is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.

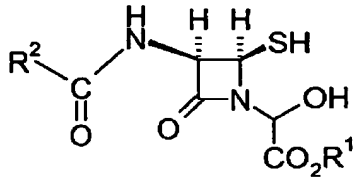
10. (Currently amended) ~~A process according to claim 7, further comprising the step of~~ A process for preparing a compound of formula (I):



(I)

wherein R^1 is *para*-nitrobenzyl and X is halo; comprising the steps of:

a) ~~preparing said compound of formula (IIIc), by~~ reacting a compound of formula (V):



(V)

wherein said R^1 is *para*-nitrobenzyl ~~or allyl~~ and said R^2 is selected from the group consisting of $C_{1\text{-}6}$alkyl, $C_{6\text{-}10}$aryl, $C_{6\text{-}10}$aryl$C_{1\text{-}6}$alkyl and dithianyl,

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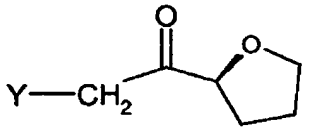
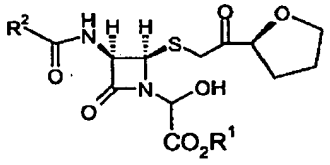
with a compound of formula **(IV)**:



(IV)

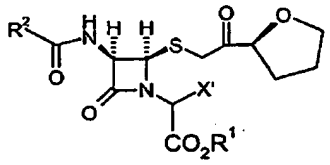
wherein Y is a leaving group selected from the group consisting of bromo, chloro, fluoro, iodo and tosylate; in a solvent; to prepare a compound of formula **(IIIc)**:



(IIIc)

wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl;

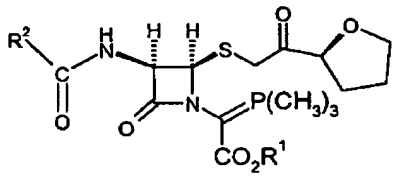
b) reacting said compound of formula **(IIIc)** with a halogenating agent, in a solvent and in the presence of a base, to form a compound of formula **(IIIb)**:



(IIIb)

wherein R^1 is *para*-nitrobenzyl, R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl, and X' is halo;

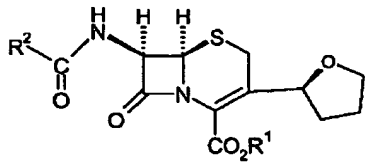
c) reacting said compound of formula **(IIIb)** with trimethylphosphine, in a solvent and in the presence of a base, to form a trimethylphosphinic compound of formula **(IIIa)**:



(IIIa)

wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl;

d) cyclizing said compound of formula (IIIa) in a solvent to form a compound of formula (II):



(II)

wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl; and

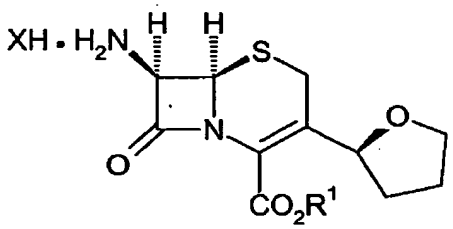
e) treating said compound of formula (II) with a Lewis acid of structure PX_5 wherein X is halo.

11. (Currently amended) A process according to claim 10, wherein said Y in step (a) is bromo or chloro.

12. (Currently amended) A process according to claim 10 wherein said solvent in step (a) is selected from the group consisting of alcohol, wherein said alcohol is selected from the group consisting of methanol, ethanol and propanol; methylene chloride; acetone; dimethylformamide or mixtures thereof.

13-31. (Canceled)

32. (Currently amended) A compound of formula (I):

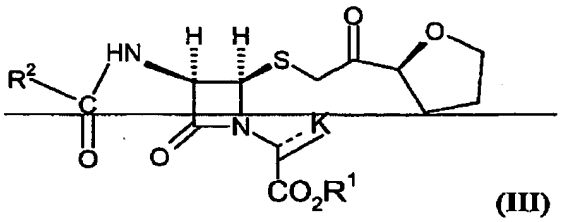


(I)

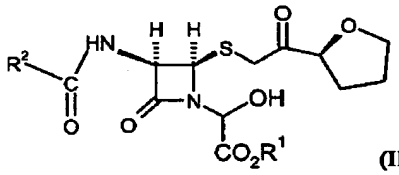
wherein R^1 is *para*-nitrobenzyl ~~or allyl;~~ and X is halo.

33. (Canceled)

34. (Currently amended) A compound of formula (IIIc):



(III)



(IIIc) .

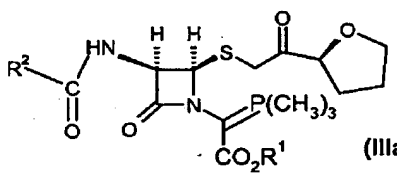
wherein R^1 is *para*-nitrobenzyl ~~or allyl;~~ and

R^2 is selected from the group consisting of C_{1-6}alkyl, C_{6-10}aryl, C_{6-10}arylC_{1-6}alkyl and dithianyl, ~~(C_6-C_{10})aryl(C_{1-6})alkyl;~~

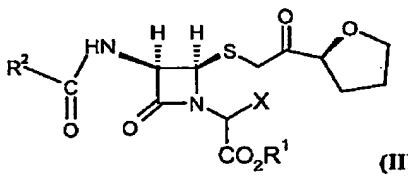
~~K is hydroxy, halo or $-P(CH_3)_3$;~~

~~wherein the C-K bond is a single bond when K is hydroxy or halo; and a double bond when K is $-P(CH_3)_3$; and~~

~~wherein said compound of formula (III) is selected from the group consisting of compound of formulae (IIIa), (IIIb) and (IIIc):~~

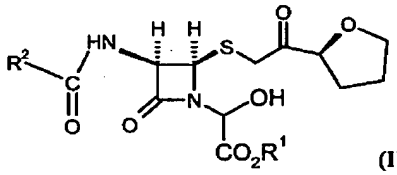


(IIIa) ;



(IIIb)

and



(IIIc) .

35-39. (Canceled)

40. (New) A process according to claim 1, wherein: said solvent in step (c) is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and aceotnitrile, said

acid in step (d) is phosphorus pentachloride or phosphorus pentabromide; said X in step (d) is chloro or bromo; said solvent in step (b) is tetrahydrofuran, acetonitrile or methylene chloride; said base in step (b) is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate; said X' in step (b) is chloro or bromo; said halogenating agent in step (a) is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said base in step (a) is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.